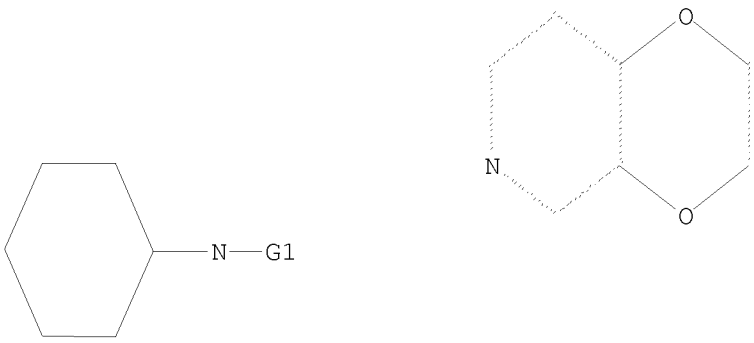
L1 STR



G1 C,CH2,SO2

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 12:40:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 190 TO ITERATE

100.0% PROCESSED 190 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2973 TO 4627
PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 12:40:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4121 TO ITERATE

100.0% PROCESSED 4121 ITERATIONS 91 ANSWERS
SEARCH TIME: 00.00.01

L3 91 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 178.36 178.57

FILE 'CAPLUS' ENTERED AT 12:40:42 ON 12 DEC 2008
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FILE COVERS 1907 - 12 Dec 2008 VOL 149 ISS 25
FILE LAST UPDATED: 11 Dec 2008 (20081211/ED)

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=> s l3
L4 13 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:1299741 CAPLUS
DOCUMENT NUMBER: 149:513868
TITLE: Bicyclic nitrogen-containing compounds as Mycobacterium tuberculosis H37Rv inhibitors and their preparation, pharmaceutical compositions and use in the treatment of bacterial infections
INVENTOR(S): Barfoot, Christopher; Davies, David Thomas; Miles, Timothy; Pearson, Neil David
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 121pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008128961	A1	20081030	WO 2008-EP54666	20080417
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: GB 2007-7704 A 20070420

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

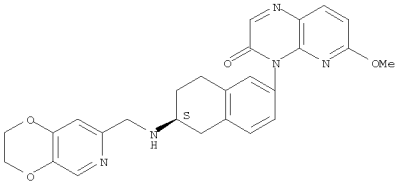
AB The invention relates to bicyclic nitrogen-containing compds. of formula I, which are antibacterial agents. Compds. of formula I wherein Z4 is CH; two of Z1-Z3 are independently (un)substituted CH and N, the remainder is (un)substituted CH, with a double bond between Z3 and Z4; one of Z1 and Z2 is (un)substituted CH and N, the other is (un)substituted CH, Z3 is O and Z4 is CH2; when Z2 is (un)substituted CH or N, then Z5 is CH and CF; R1a is H, halo, CN, NO2, C1-6 alkyl, C1-6 alkylthio, mono-, di-, or trifluoromethyl, di- or trifluoromethoxy, carboxy, C1-6 alkoxycarbonyl, OH, C1-6 alkoxy, etc.; R2 is H and C1-4 alkyl; A is (un)substituted 10-membered (hetero)bicyclic ring; U is CO and CH2; R5 is (un)substituted (hetero)bicyclic ring; and their pharmaceutically acceptable salts and

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
N-oxides thereof, are claimed. Example compd. II•2HCl was prepd. by a multi-step procedure (procedure given). All the invention compds. were evaluated for their Mycobacterium tuberculosis H37Rv inhibitory activity. From the assay, it was detd. that II and other tested compds. exhibited the MIC values of ≤ 0.2 μg/mL.

IT 1073631-78-3P 1073631-81-8P
RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate and intermediate; preparation of bicyclic nitrogen-containing compds. as Mycobacterium tuberculosis H37Rv inhibitors useful in the treatment of bacterial infections)

RN 1073631-78-3 CAPLUS
CN Pyrido[2,3-b]pyrazin-3(4H)-one, 4-[(6S)-6-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-6-methoxy- (CA INDEX NAME)

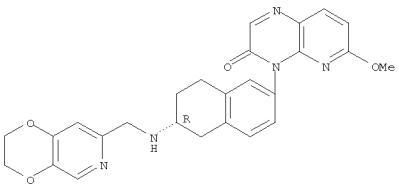
Absolute stereochemistry.



RN 1073631-81-8 CAPLUS
CN Pyrido[2,3-b]pyrazin-3(4H)-one, 4-[(6R)-6-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-6-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

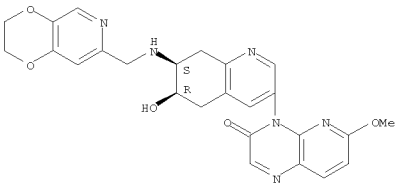
L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 1073632-36-6P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(drug candidate; preparation of bicyclic nitrogen-containing compds. as Mycobacterium tuberculosis H37Rv inhibitors useful in the treatment of bacterial infections)

RN 1073632-36-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

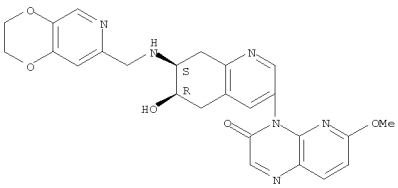


IT 1073632-07-1P 1073632-08-2P
RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of bicyclic nitrogen-containing compds. as Mycobacterium tuberculosis H37Rv inhibitors useful in the treatment of bacterial infections)

RN 1073632-07-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

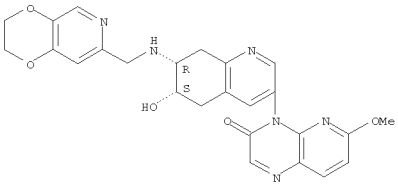
Absolute stereochemistry.

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 1073632-08-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

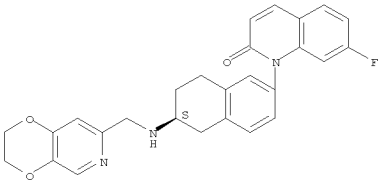


IT 1073631-76-1P 1073631-79-4P 1073631-82-9P
1073631-86-3P 1073631-92-1P 1073631-99-8P
1073632-11-7P 1073632-18-4P 1073632-24-2P
1073632-25-3P 1073632-29-7P 1073632-39-9P
1073632-42-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of bicyclic nitrogen-containing compds. as Mycobacterium tuberculosis H37Rv inhibitors useful in the treatment of bacterial infections)

RN 1073631-76-1 CAPLUS
CN 2(1H)-Quinolinone, 1-[(6S)-6-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-7-fluoro-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



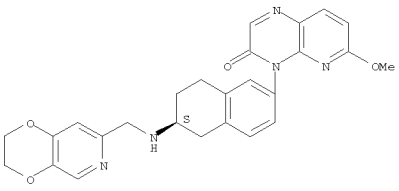
●2 HCl

RN 1073631-79-4 CAPLUS
CN Pyrido[2,3-b]pyrazin-3(4H)-one, 4-[(6S)-6-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-6-methoxy-, benzoate (1:1) (CA INDEX NAME)

CM 1

CRN 1073631-78-3
CMF C26 H25 N5 O4

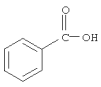
Absolute stereochemistry.



CM 2

CRN 65-85-0
CMF C7 H6 O2

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

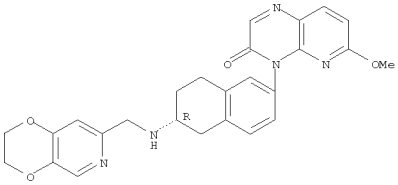


RN 1073631-82-9 CAPLUS
CN Pyrido[2,3-b]pyrazin-3(4H)-one, 4-[(6R)-6-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-6-methoxy-, benzoate (1:1) (CA INDEX NAME)

CM 1

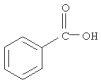
CRN 1073631-81-8
CMF C26 H25 N5 O4

Absolute stereochemistry.



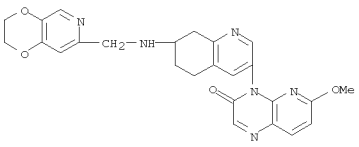
CM 2

CRN 65-85-0
CMF C7 H6 O2



RN 1073631-86-3 CAPLUS
CN Pyrido[2,3-b]pyrazin-3(4H)-one, 4-[7-[[(2,3-dihydro-1,4-dioxino[2,3-

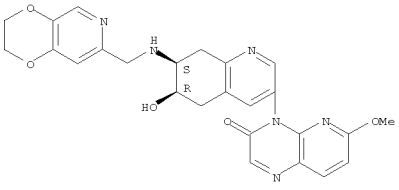
L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-3-quinolinyl]-6-methoxy-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 1073631-92-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

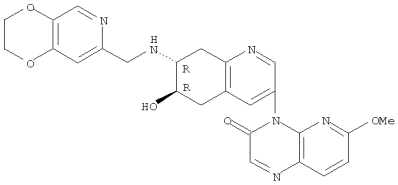


● HCl

RN 1073631-99-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

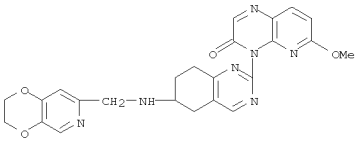
Relative stereochemistry.

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

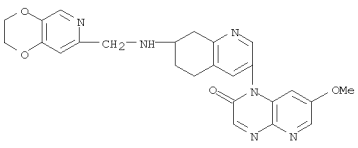
RN 1073632-11-7 CAPLUS
CN Pyrido[2,3-b]pyrazin-3(4H)-one, 4-[6-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-quinazolinyl]-6-methoxy-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

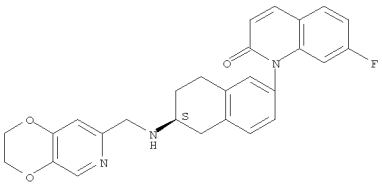
RN 1073632-18-4 CAPLUS
CN Pyrido[2,3-b]pyrazin-2(1H)-one, 1-[7-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-3-quinolinyl]-7-methoxy- (CA INDEX NAME)

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 1073632-24-2 CAPLUS
CN 2(1H)-Quinolinone, 1-[(6S)-6-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-7-fluoro- (CA INDEX NAME)

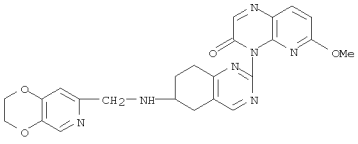
Absolute stereochemistry.



RN 1073632-25-3 CAPLUS
CN 2(1H)-Quinolinone, 1-[(6R)-6-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-7-fluoro- (CA INDEX NAME)

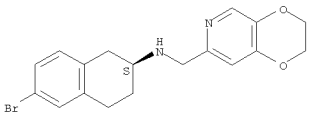
Absolute stereochemistry.

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 1073632-42-4 CAPLUS
CN Pyrido[2,3-b]pyrazin-3(4H)-one, 4-[6-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-quinazolinyl]-6-methoxy- (CA INDEX NAME)



IT 1073632-63-9P 1073632-65-1P 1073632-70-8P
1073632-73-1P 1073632-75-3P 1073632-76-4P
1073632-78-6P 1073632-79-7P 1073632-82-2P
1073632-84-4P 1073632-85-5P 1073632-88-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of bicyclic nitrogen-containing compds. as Mycobacterium tuberculosis H37Rv inhibitors useful in the treatment of bacterial infections)
RN 1073632-63-9 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine-7-methanamine, N-[(2S)-6-bromo-1,2,3,4-tetrahydro-2-naphthalenyl]-2,3-dihydro- (CA INDEX NAME)

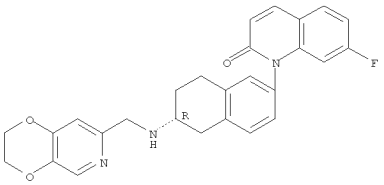
Absolute stereochemistry.



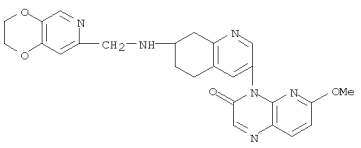
RN 1073632-65-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

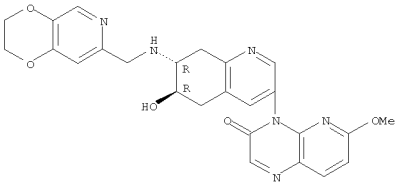


RN 1073632-29-7 CAPLUS
CN Pyrido[2,3-b]pyrazin-3(4H)-one, 4-[7-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-3-quinolinyl]-6-methoxy- (CA INDEX NAME)

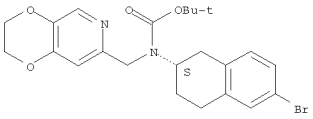


RN 1073632-39-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

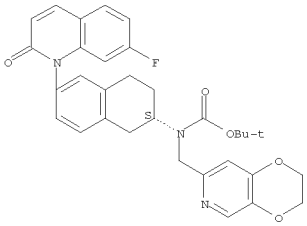


L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

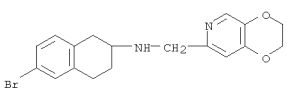


RN 1073632-70-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

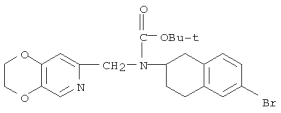


RN 1073632-73-1 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine-7-methanamine, N-(6-bromo-1,2,3,4-tetrahydro-2-naphthalenyl)-2,3-dihydro- (CA INDEX NAME)

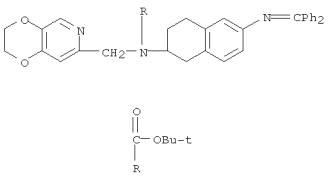


RN 1073632-75-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

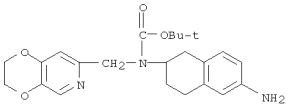
L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 1073632-76-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

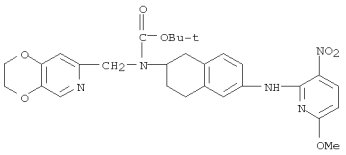


RN 1073632-78-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

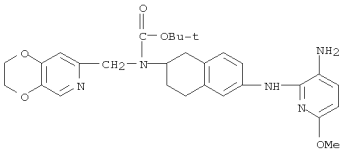


RN 1073632-79-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

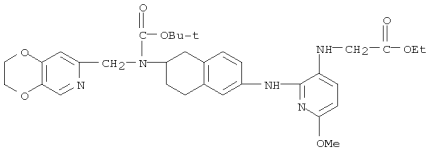
L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 1073632-82-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

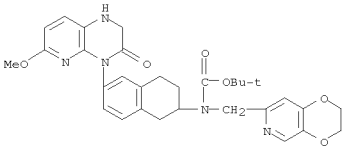


RN 1073632-84-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

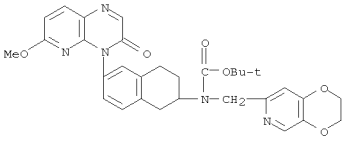


RN 1073632-85-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

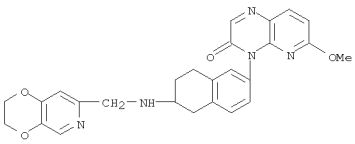


RN 1073632-88-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



IT 1073632-91-3P
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(product; preparation of bicyclic nitrogen-containing compds. as Mycobacterium
tuberculosis H37Rv inhibitors useful in the treatment of bacterial infections)

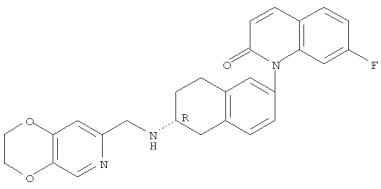
RN 1073632-91-3 CAPLUS
CN Pyrido[2,3-b]pyrazin-3(4H)-one, 4-[6-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-6-methoxy- (CA INDEX NAME)



IT 1073631-77-2P
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prophetic drug candidate; prepn. of bicyclic nitrogen-contg. compds. as Mycobacterium tuberculosis H37Rv inhibitors useful in the treatment of bacterial infections)

RN 1073631-77-2 CAPLUS
CN 2(1H)-Quinolinone, 1-[(6R)-6-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]-7-fluoro-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

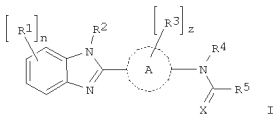
L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:770665 CAPLUS
DOCUMENT NUMBER: 149:104707
TITLE: Preparation of benzimidazole derivatives as inhibitors of hedgehog (Hh) signaling pathway
INVENTOR(S): Munchhof, Michael John; Reiter, Lawrence Alan; Shavnya, Andrei; Jones, Christopher Scott; Li, Qifang; Linde, Robert Gerald, II
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 192pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008075196	A1	20080626	WO 2007-IB4144	20071205

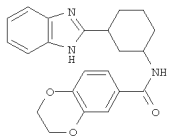
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-870360P P 20061215
US 2007-887626P P 20070201

OTHER SOURCE(S): MARPAT 149:104707
GI



I

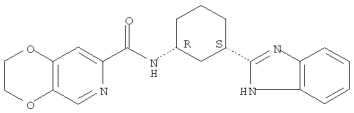


II

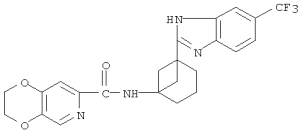
AB Disclosed are compds. I [A = 1,3-cycloalkyl; R1 = halo, -(CH2)t-OH, -(CH2)t-CF3, etc.; R2 = H, -alkyl, -(CH2)q-OH, etc.; R3 = -CN, halo, hydroxy, etc.; R4 = H, -alkyl, -(CH2)q-OH, etc.; R5 = -alkyl, -alkenyl, -alkynyl, etc.; X = O, S or NR8; R8 = H, -alkyl, -(CH2)t-CN, etc.; t = 0-5; n = 0-4; q = 2-5; z = 0-7; or their pharmaceutically acceptable salts], useful for the treatment of abnormal cell growth, such as cancer. Thus, a multi-step synthesis of compound II, starting from 3-aminocyclohexanecarboxylic acid, was given. Compound II showed 107% SMO cell inhibition at 2 μM.
IT 1035322-96-3P 1035323-17-1P 1035323-19-3P 1035323-33-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole derivs. as inhibitors of hedgehog (Hh) signaling pathway)
RN 1035322-96-3 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine-7-carboxamide, N-[(1R,3S)-3-(1H-benzimidazol-2-yl)cyclohexyl]-2,3-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

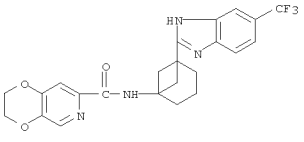
L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 1035323-17-1 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine-7-carboxamide, 2,3-dihydro-N-[5-[6-(trifluoromethyl)-1H-benzimidazol-2-yl]bicyclo[3.1.1]hept-1-yl]- (CA INDEX NAME)



RN 1035323-19-3 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine-7-carboxamide, 2,3-dihydro-N-[5-[6-(trifluoromethyl)-1H-benzimidazol-2-yl]bicyclo[3.1.1]hept-1-yl]-, hydrochloride (1:1) (CA INDEX NAME)

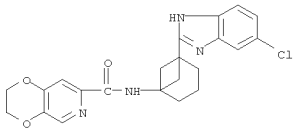


● HCl

RN 1035323-33-1 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine-7-carboxamide,

N-[5-(6-chloro-1H-benzimidazol-2-yl)bicyclo[3.1.1]hept-1-yl]-2,3-dihydro- (CA INDEX NAME)

L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1396057 CAPLUS
DOCUMENT NUMBER: 148:33708
TITLE: Preparation of naphthyridinones and related compounds as antibacterial agents
INVENTOR(S): Kiyoto, Taro; Ando, Junichi; Tanaka, Tadashi; Tzutsui, Yasuhiro; Yokotani, Mai; Noguchi, Toshiya; Ushiyama, Fumihito; Urabe, Hiroki; Horikiri, Hiromasa
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan; Taisho Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 270pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

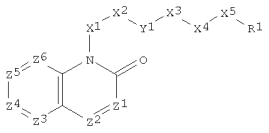
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007138974	A1	20071206	WO 2007-JP60606	20070524

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2006-146588 A 20060526

OTHER SOURCE(S): MARPAT 148:33708
GI

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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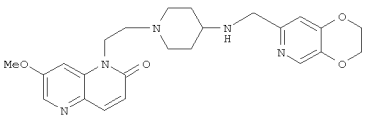
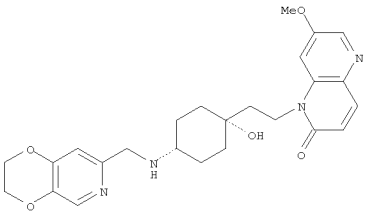


II

AB Title compds. I [R1 = (un)substituted alkyl, aryl or heterocycle; X1 = (un)substituted alkylene; X2 = NR2 or bond; R2 = H, (un)substituted alkyl or imino protecting group; X3 = NR3, CR4R5NR3 or bond; R3 = H, (un)substituted alkyl or imino protecting group; R4, R5 = H or (un)substituted alkyl; R4 and R5 may combine to form oxo group; X4 = (un)substituted alkylene, alkenylene, alkynylene, etc.; X5 = oxygen, sulfur atom, sulfinyl, etc.; Y1 = (un)substituted divalent aliphatic hydrocarbon residue or (un)substituted divalent alicyclic amine residue; Z1-Z6 = nitrogen atom or CR7 with the proviso that at least one of Z3-Z6 is nitrogen atom; R7 = H, halo, hydroxyl, etc.] and salts thereof were prepared Thus, a multi-step synthesis of II hydrochloride, starting from 1-(trifluoroacetyl)piperidin-4-amine·HCl, was given. The exemplified compound II hydrochloride showed the MIC value of 0.0313 μg/mL against S. aureus FDA209P and S. aureus F-3095.
IT 959614-88-1P 959614-93-8P 959615-56-6P
959615-57-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of naphthyridinones and related compds. as antibacterial agents)
RN 959614-88-1 CAPLUS
CN 1,5-Naphthyridin-2(1H)-one, 1-[2-[cis-4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxycyclohexyl]ethyl]-7-methoxy- (CA INDEX NAME)

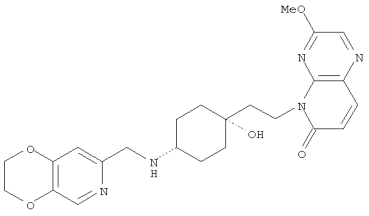
Relative stereochemistry.

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 959614-93-8 CAPLUS
CN Pyrido[2,3-b]pyrazin-6(5H)-one, 5-[2-[cis-4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxycyclohexyl]ethyl]-3-methoxy- (CA INDEX NAME)

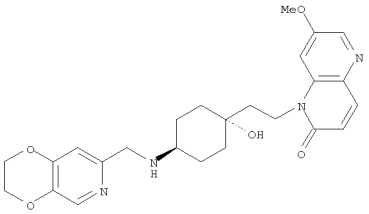
Relative stereochemistry.



RN 959615-56-6 CAPLUS
CN 1,5-Naphthyridin-2(1H)-one, 1-[2-[trans-4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxycyclohexyl]ethyl]-7-methoxy- (CA INDEX NAME)

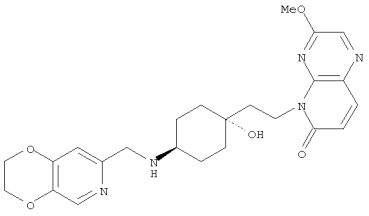
Relative stereochemistry.

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 959615-57-7 CAPLUS
CN Pyrido[2,3-b]pyrazin-6(5H)-one, 5-[2-[trans-4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxycyclohexyl]ethyl]-3-methoxy- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1177635 CAPLUS
DOCUMENT NUMBER: 147:462228
TITLE: Antibacterial agents
INVENTOR(S): Miller, William Henry; Price, Alan T.
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 46pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

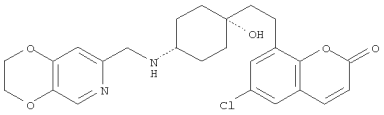
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007118130	A2	20071018	WO 2007-US66018	20070405
WO 2007118130	A3	20080605		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-744348P P 20060406

OTHER SOURCE(S): CASREACT 147:462228; MARPAT 147:462228
AB 2H-chromen-2-one derivs. useful in the treatment of bacterial infections in mammals, particularly humans, are disclosed herein.
IT 952657-74-8P 952657-97-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antibacterial chromenones)
RN 952657-74-8 CAPLUS
CN 2H-1-Benzopyran-2-one, 6-chloro-8-[2-[cis-4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxycyclohexyl]ethyl]- (CA INDEX NAME)

Relative stereochemistry.

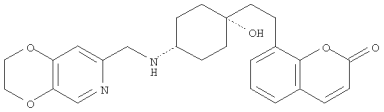


L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

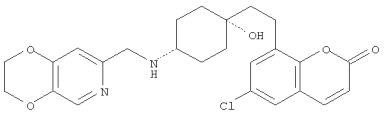
RN 952657-97-5 CAPLUS
CN 2H-1-Benzopyran-2-one, 8-[2-[cis-4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxycyclohexyl]ethyl]- (CA INDEX NAME)

Relative stereochemistry.



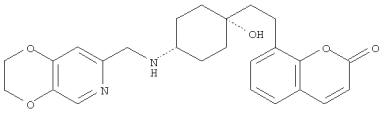
IT 952657-74-8D, derivs. 952657-97-5D, derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antibacterial chromenones)
RN 952657-74-8 CAPLUS
CN 2H-1-Benzopyran-2-one, 6-chloro-8-[2-[cis-4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxycyclohexyl]ethyl]- (CA INDEX NAME)

Relative stereochemistry.



RN 952657-97-5 CAPLUS
CN 2H-1-Benzopyran-2-one, 8-[2-[cis-4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxycyclohexyl]ethyl]- (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1356996 CAPLUS
DOCUMENT NUMBER: 146:100726
TITLE: Preparation of novel nitrogenated heterocyclic compounds as antibacterial agents
INVENTOR(S): Kiyoto, Taro; Tanaka, Tadashi; Tsutsui, Yasuhiro; Ando, Junichi; Motono, Mai; Kawaguchi, Yasuko; Noguchi, Toshiya; Ushiki, Yasunobu; Ushiyama, Fumihito; Urabe, Hiroki
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan; Taisho Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 504pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006137485	A1	20061228	WO 2006-JP312515	20060622

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

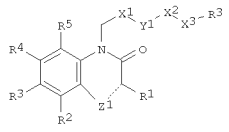
EP 1900732	A1	20080319	EP 2006-767173	20060622

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: JP 2005-184542 A 20050624
JP 2006-76850 A 20060320
WO 2006-JP312515 W 20060622

OTHER SOURCE(S): MARPAT 146:100726
GI

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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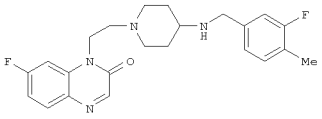


II

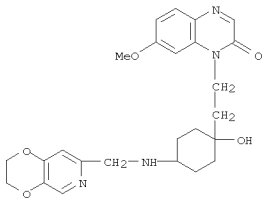
AB Nitrogenated heterocyclic compds., i.e. 1,2-dihydroquinolin-2-one and 1,2-dihydroquinoxalin-2-one derivs. represented by the general formula [I; the broken line = a single or double bond; R1-R5 = H, halogen atom, HO, NO2, CHO, (un)protected NH2, lower alkyl, cycloalkyl, aryl, lower alkoxy, cycloalkyloxy, aralkyloxy, alkanoyl, ureido, or (un)substituted monocyclic heterocyclic group, etc.; R6 = each (un)substituted lower alkyl, aryl, or mono-, di-, or tricyclic heterocyclic group; X1 = (un)substituted lower alkylene; X2 = each (un)substituted lower alkylene, lower alkenylene, or lower alkynylene; X3 = O, S, S(O), SO2, (un)substituted NH; Y1 = cyclic group containing a bivalent nitrogen which may be substituted; Z1 = nitrogen or (un)substituted CH] or salts thereof are prepared These compds. or salts have a potent antibacterial activity and a high safety, and are therefore useful as excellent antibacterial agents. Thus, reductive alkylation of 1-[2-(4-aminopiperidin-1-yl)ethyl]-7-fluoroquinolin-2(1H)-one by 3-fluoro-4-methylbenzaldehyde and sodium triacetoxyborohydride in the presence of AcOH in CHCl3 at room temperature overnight followed by treatment of the product solution in CHCl3 with 4 M HCl/EtOAc gave 1-[2-[4-[(3-fluoro-4-methylbenzyl)amino]piperidin-1-yl]ethyl]-7-fluoroquinoxalin-2(1H)-one (II) hydrochloride. II hydrochloride showed min. inhibitory concentration of 0.0156 μg/mL against Staphylococcus aureus FDA209P and methicillin-resistant S. aureus F-3095.

IT 917830-03-6P, 1-[2-[4-[[(2,3-Dihydro[1,4]dioxino[2,3-c]pyridin-7-yl)methyl]amino]cyclohexyl]ethyl]-7-methoxy-4-methylquinolin-2(1H)-one
917832-72-5P, 1-[2-[4-[[(2,3-Dihydro[1,4]dioxino[2,3-c]pyridin-7-yl)methyl]amino]cyclohexyl]ethyl]-7-methoxyquinoxalin-2(1H)-one

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 917832-73-6 CAPLUS

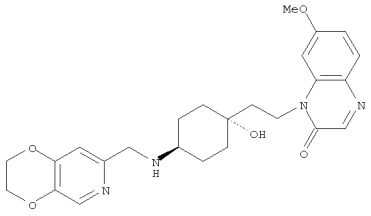
CN 2(1H)-Quinoxalinone, 1-[2-[4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxycyclohexyl]ethyl]-7-methoxy- (CA INDEX NAME)



RN 917832-74-7 CAPLUS

CN 2(1H)-Quinoxalinone, 1-[2-[trans-4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxycyclohexyl]ethyl]-7-methoxy- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

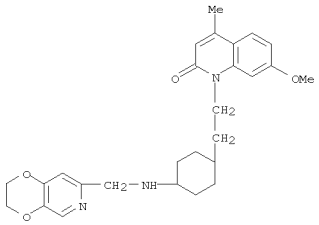
L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

917832-73-6P, 1-[2-[4-[[(2,3-Dihydro[1,4]dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxycyclohexyl]ethyl]-7-methoxyquinoxalin-2(1H)-one
917832-74-7P, trans-1-[2-[4-[[(2,3-Dihydro[1,4]dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxycyclohexyl]ethyl]-7-methoxyquinoxalin-2(1H)-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1,2-dihydroquinolin-2-one and 1,2-dihydroquinoxalin-2-one derivs. as antibacterial agents)

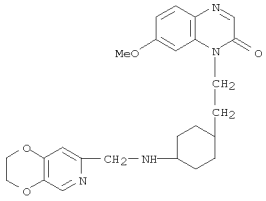
RN 917830-03-6 CAPLUS

CN 2(1H)-Quinolinone, 1-[2-[4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]cyclohexyl]ethyl]-7-methoxy-4-methyl- (CA INDEX NAME)



RN 917832-72-5 CAPLUS

CN 2(1H)-Quinoxalinone, 1-[2-[4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]cyclohexyl]ethyl]-7-methoxy- (CA INDEX NAME)



L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1252401 CAPLUS

DOCUMENT NUMBER: 146:27861

TITLE: Preparation of piperidinamines, quinolinamines and their azaisosteric analogs as inhibitors of bacterial DNA gyrase

INVENTOR(S): Reck, Folkert; Morningstar, Marshall; Hartl, Hajnalka

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 111pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

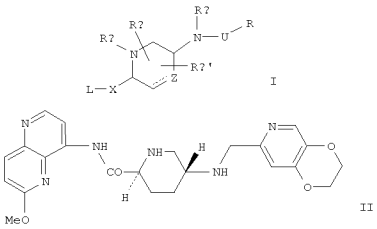
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006125974	A1	20061130	WO 2006-GB1889	20060523
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006250987	A1	20061130	AU 2006-250987	20060523
CA 2608072	A1	20061130	CA 2006-2608072	20060523
EP 1891078	A1	20080227	EP 2006-743966	20060523
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008542249	T	20081127	JP 2008-512908	20060523
IN 2007DN08642	A	20071214	IN 2007-DN8642	20071108
MX 200714507	A	20080207	MX 2007-14507	20071120
KR 2008016577	A	20080221	KR 2007-727342	20071123
NO 2007006675	A	20071227	NO 2007-6675	20071227
CN 101258157	A	20080903	CN 2006-80026671	20080121

PRIORITY APPLN. INFO.: US 2005-684030P P 20050524
WO 2006-GB1889 W 20060523

OTHER SOURCE(S): CASREACT 146:27861; MARPAT 146:27861

GI

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I

II

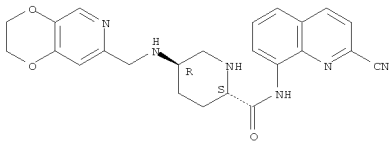
AB The present invention relates to the title compds. (shown as I; variables defined below; e.g. (2S,5R)-5-[[(2,3-Dihydro-[1,4]dioxino[2,3-c]pyridin-7-yl)methyl]amino]-N-(6-methoxy-1,5-naphthyridin-4-yl)piperidine-2-carboxamide (shown as II)) that demonstrate antibacterial activity, processes for their preparation, pharmaceutical compns. containing them as the active ingredient, to their use as medicaments and to their use in the manufacture of medicaments for use in the treatment of bacterial infections in warm blooded animals such as humans. For I: L is (un)substituted naphthalenyl with 0-4 ring atoms N; X is NHCO, N(C1-C6)alkylCO, CCR1R2, CR1R2CO, NR1SO2, CR1R2SO2 or CR1R2CR1R2, wherein R1 and R2 = independently H, hydroxy, (C1-C6)alkyl, halogen, halo(C1-C6)alkyl, aryl, or heteroaryl; or X is OCR1R2, NR1CR1R2,wherein R1 and R2 are H, (C1-C6)alkyl, halo(C1-C6)alkyl, aryl, or heteroaryl; Z is absent or is C. Rd is H, (C1-C6)alkyl, (C2-C6)alkenyl, C(O)(C1-C6)alkyl, C(O)O(C1-C6)alkyl, hydroxy(C1-3)alkyl, CONH2, CO2H, -CH2CH2CO2H, -CH2CONH2, -CH2CO2H, -CONH(C1-C6)alkyl, trifluoromethyl, S(O)xR1 (x = 1-2), with a proviso; Ry and Ry' = halogen, (C1-C6)alkyl, (C1-C6)alkoxy, hydroxy, CONH2, CO2H, -CH2CONH2, -CH2CO2H, -CONHCH3 or amino, with a proviso; Re is H, (C1-C6)alkyl, C(O)(C1-C6)alkyl or C(O)O(C1-C6)alkyl. U is CH2, CH2CH2, CH:CH, or C.tplbond.C, and wherein each H may be optionally replaced by fluoro or (C1-C6)alkyl; R is an (un)substituted aryl or ortho-fused bicyclic heteroaryl, or when U is ethylene, ethenyl, or ethynyl, R is (un)substituted aryl or heteroaryl, or is heteroaryl(C1-C6) alkyloxy, heteroaryl(C1-C6)alkylthio, heteroaryl(C1-C6)alkylsulfinyl, heteroaryl(C1-C6) alkylsulfonyl, heteroaryl(C1-C6)alkylamino. Methods of preparation are claimed and prepns. and/or characterization data for .apprx.50 examples of I are included. For example, II was prepared by deprotection of tert-Bu (2S,5R)-5-[[(2,3-dihydro-[1,4]dioxino[2,3-c]pyridin-7-yl)methyl]amino]-2-[[(6-methoxy-1,5-naphthyridin-4-yl)amino]carbonyl]piperidine-1-carboxylate, which was prepd. via the following intermediates: 1-tert-Bu 2-Me (2S)-5-oxopyrrolidine-1,2-dicarboxylate, Me N-(tert-butoxycarbonyl)-6-diazonio-5-oxo-L-norleucinate, 1-tert-Bu 2-Me (2S)-5-oxopiperidine-1,2-dicarboxylate, 1-tert-Bu 2-Me (2S,5S)-5-hydroxypiperidine-1,2-dicarboxylate, 1-tert-Bu 2-Me (2S,5S)-5-[(methylsulfonyl)oxy]piperidine-1,2-dicarboxylate, 1-tert-Bu 2-Me (2S,5R)-5-azidopiperidine-1,2-dicarboxylate, 1-tert-Bu 2-Me (2S,5R)-5-aminopiperidine-1,2-dicarboxylate, 1-tert-Bu 2-Me (2S,5R)-5-[[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]amino]piperidine-1,2-dicarboxylate, (2S,5R)-1-(tert-butoxycarbonyl)-5-[[(2,3-dihydro-[1,4]dioxino[2,3-c]pyridin-7-yl)methyl]amino]piperidine-2-carboxylic acid, and tert-Bu (2S,5R)-2-(aminocarbonyl)-5-[[(2,3-dihydro-[1,4]dioxino[2,3-c]pyridin-7-yl)methyl]amino]piperidine-1-carboxylate. Compds. I generally have IC50 <20 μg/mL for inhibition of Escherichia coli DNA supercoiling and GyrB ATPase activities and have MIC's ≤32 μg/mL vs. Gram-pos. species, including Staphylococcus aureus, Streptococcus pneumoniae, Streptococcus pyogenes, and Enterococcus faecium and vs. Gram-neg. species including Haemophilus influenzae, Escherichia coli and Moraxella catarrhalis.

IT 915976-55-5P, (2S,5R)-N-(2-Cyanoquinolin-8-yl)-5-[[(2,3-dihydro-[1,4]dioxino[2,3-c]pyridin-7-yl)methyl]amino]piperidine-2-carboxamide
915976-56-6P, (2R,5S)-N-(2-Cyanoquinolin-8-yl)-5-[[(2,3-dihydro-[1,4]dioxino[2,3-c]pyridin-7-yl)methyl]amino]piperidine-2-carboxamide
915976-66-8P, (4R)-N-(2-Cyanoquinolin-8-yl)-4-[[(2,3-dihydro-[1,4]dioxino[2,3-c]pyridin-7-yl)methyl]amino]-L-prolinamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidinamines, quinolinamines and their azaisosteric analogs as inhibitors of bacterial DNA gyrase)

RN 915976-55-5 CAPLUS

CN 2-Piperidinecarboxamide, N-(2-cyano-8-quinolinyl)-5-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-, (2S,5R)- (CA INDEX NAME)

Absolute stereochemistry.

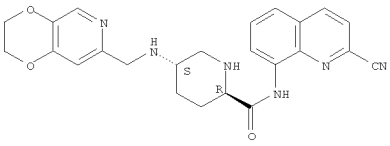


L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 915976-56-6 CAPLUS

CN 2-Piperidinecarboxamide, N-(2-cyano-8-quinolinyl)-5-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-, (2R,5S)- (CA INDEX NAME)

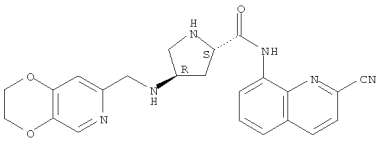
Absolute stereochemistry.



RN 915976-66-8 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-(2-cyano-8-quinolinyl)-4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:410015 CAPLUS

DOCUMENT NUMBER: 144:450627

TITLE: Preparation of novel nitrogenous heterocyclic compounds and salts thereof as antibacterial agents

INVENTOR(S): Kiyoto, Taro; Tsutsui, Yasuhiro; Tanaka, Tadashi; Shimada, Sumie; Nomura, Nobuhiko; Noguchi, Toshiya; Ushiyama, Fumihito; Ushiki, Yasunobu

PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan; Taisho Pharmaceutical Co., Ltd.

SOURCE: PCT Int. Appl., 281 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006046552	A1	20060504	WO 2005-JP19586	20051025

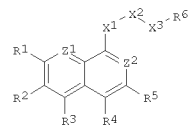
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

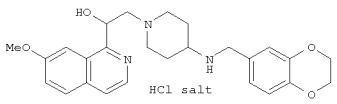
PRIORITY APPLN. INFO.: JP 2004-311942 A 20041027

OTHER SOURCE(S): MARPAT 144:450627

GI



I



II

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

AB Compds. represented by the general formula (I) including quinoline or isoquinoline derivs., or salts thereof [wherein R1 = halo, cyano, (un)protected CO2H, (un)substituted alkyl, alkoxy, acyloxy; R2-R5 = H, halo, cyano, (un)protected CO2H, (un)substituted alkyl, alkenyl, alkoxy, NH2, CONH2; Z1, Z2 = N or (un)substituted CH, provided that at least one of Z1 and Z2 = N; X1 = O, S, S(O), S(O)2, each (un)substituted NH or CH2; X2 = a bond, CO, (un)substituted NH; X3 = C1-4 alkylene or a bond; R6 = Q-Q6; wherein R1 = more than one H, halo, (un)substituted HO or CO2H or each (un)substituted NH2, lower alkyl, alkoxy, or CONH2; R11a, R11 b, R11c = H, halo, (un)protected HO or CO2H, (un)substituted NH2, lower alkyl, alkoxy, CONH2; R12 = -X6-X4-R14, -X7-C(:NH)-NH-X5-R14 -X7-CONH-R14; wherein R14 = H, (un)protected CO2H, each (un)substituted cycloalkyl, cycloalkenyl, aralkyl, aryl, or heterocyclyl; X4 = a bond, O, S, CO; X5 = a bond, (un)substituted alkylene; X6 = each (un)substituted alkylene, alkenylene, or alkynylene, SO2; X7 = a bond, (un)substituted alkylene; R13 = H, (un)substituted NH2, each (un)substituted alkyl or aryl] or salts thereof are prepared These compds. have potent antibacterial activity against Gram-neg., Gram-pos., and resistant bacteria with high safety and are therefore useful as excellent antibacterial agents. Thus, reductive alkylation of 2-(4-aminopiperidin-1-yl)-1-(7-methoxyisoquinolin-1-yl)ethanol with 1,4-benzodioxan-6-carboxaldehyde using NaBH4 followed treatment with 4 N HCl/dioxane gave 2-(4-((2,3-dihydrobenzo[b][1,4]dioxin-6-yl)methylamino)piperidin-1-yl)-1-(7-methoxyisoquinolin-1-yl)ethanol hydrochloride (II). II showed min. inhibitory concentration of 0.0313 μg/mL against both Staphylococcus aureus FDA209 and methicillin-resistant S. aureus F3095 (MRSA).

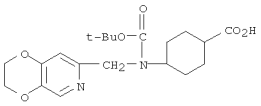
IT 885688-13-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of nitrogenous heterocyclic compds. as antibacterial agents)

RN 885688-13-1 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl][(1,1-dimethylethoxy)carbonyl]amino]- (CA INDEX NAME)



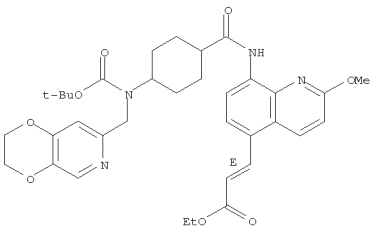
IT 885689-45-2P 885689-53-2P 885948-64-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of nitrogenous heterocyclic compds. as antibacterial agents)

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Double bond geometry as shown.



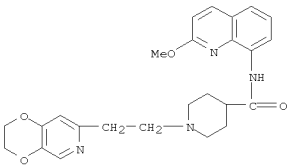
IT 885689-44-1P 885689-46-3P 885689-55-4P 885948-65-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nitrogenous heterocyclic compds. as antibacterial agents)

RN 885689-44-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)ethyl]-N-(2-methoxy-8-quinolinyl)- (CA INDEX NAME)



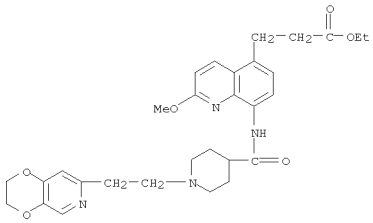
RN 885689-46-3 CAPLUS

CN 5-Quinolinepropanoic acid, 8-[[[1-[2-(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)ethyl]-4-piperidinyl]carbonyl]amino]-2-methoxy- (CA INDEX NAME)

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

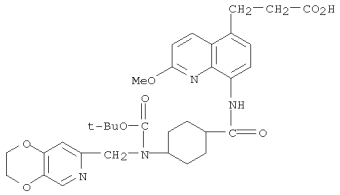
RN 885689-45-2 CAPLUS

CN 5-Quinolinepropanoic acid, 8-[[[1-[2-(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)ethyl]-4-piperidinyl]carbonyl]amino]-2-methoxy-, ethyl ester (CA INDEX NAME)



RN 885689-53-2 CAPLUS

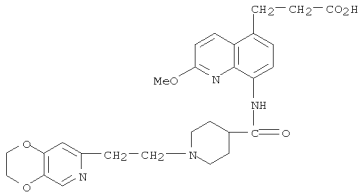
CN 5-Quinolinepropanoic acid, 8-[[[4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl][(1,1-dimethylethoxy)carbonyl]amino]cyclohexyl]carbonyl]amino]-2-methoxy- (CA INDEX NAME)



RN 885948-64-1 CAPLUS

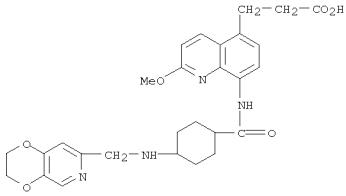
CN 2-Propenoic acid, 3-[8-[[[4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl][(1,1-dimethylethoxy)carbonyl]amino]cyclohexyl]carbonyl]amino]-2-methoxy-5-quinolinyl]-, ethyl ester, (2E)- (CA INDEX NAME)

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 885689-55-4 CAPLUS

CN 5-Quinolinepropanoic acid, 8-[[[4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]cyclohexyl]carbonyl]amino]-2-methoxy- (CA INDEX NAME)



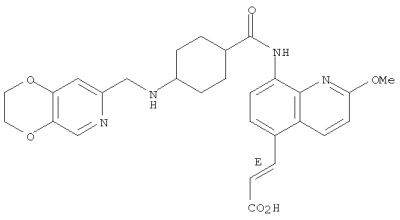
RN 885948-65-2 CAPLUS

CN 2-Propenoic acid, 3-[8-[[[4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]cyclohexyl]carbonyl]amino]-2-methoxy-5-quinolinyl]-, (2E)-

(CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

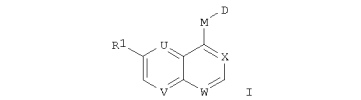
L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:333468 CAPLUS
DOCUMENT NUMBER: 144:350718
TITLE: Preparation of bicyclic antibiotics, particularly quinoline, naphthyridine, quinazoline and quinoxaline antibacterials
INVENTOR(S): Hubschwerlen, Christian; Surivet, Jean-Philippe; Zumbrunn Acklin, Cornelia
PATENT ASSIGNEE(S): Actelion Percurex AG, Switz.
SOURCE: PCT Int. Appl., 281 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006032466	A2	20060330	WO 2005-EP10154	20050920
WO 2006032466	A3	20061214		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2580621	A1	20060330	CA 2005-2580621	20050920
EP 1799676	A2	20070627	EP 2005-784860	20050920
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101035784	A	20070912	CN 2005-80032153	20050920
JP 2008514563	T	20080508	JP 2007-532823	20050920

PRIORITY APPLN. INFO.: WO 2004-EP10762 A 20040924
WO 2005-EP7731 A 20050715
WO 2005-EP10154 W 20050920

OTHER SOURCE(S): MARPAT 144:350718
GI

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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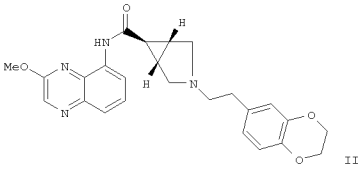


II

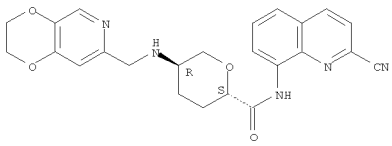
AB Title compds. I [R1 = alkyl, halo/alkoxy, halo, CN; 1-2 of U, V, W, and X = N, the remaining = CH, or in case of U, V, and/or W may also represent CRa, and, in the case of X, may also represent CRb; Ra = halo; Rb = halo, alkoxy; D = alkyl, hetero/aryl; M = -A11-3-azabicyclo[3.1.0]hex-3-yl-A21-, (un)substituted -A3-tetrahydropyran-3-ylamino-A4-; -A1-1,3-dioxolo[4,5-c]pyran-7-yl-A2-, etc.; A11 = NHCO, OCH2, CH(OH)CH2, CH2CH2; A21 = CH2, CO, CH(OH), CH(OCONH2); A3 = NHCO, CH2CH2, CH:CH, etc.; A4 = CH2, CO, COCH:CH, etc.; A1 = NHCO, OCH2, CH2CH2, CH:CH, CH(OH)CH2; A2 = NHCH2, NHCO, COCH2, NHCH2CONH, etc.; and their prodrugs, tautomers, racemates, and their stereoisomers, and their meso and morphol. forms, salts and solvent complexes] were prepared for use in the treatment of bacterial infections. Thus, (1α,5α,6α)-II was prepared from (1α,5α,6α)-3-azabicyclo[3.1.0]hexane-3,6-dicarboxylic acid 3-benzyl ester and trifluoromethanesulfonic acid 3-methoxyquinoxalin-5-yl ester. Selected I ar active against a wide range of bacteria, including Gram-neg. and Gram-pos. bacteria and displayed min. inhibitory concentration values ≤ 0.031 mg/L.

IT 881654-48-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bactericide; preparation of bicyclic antibacterials)

RN 881654-48-4 CAPLUS
CN L-erythro-Hexonamide, 2,6-anhydro-N-(2-cyano-8-quinolinyl)-3,4,5-trideoxy-5-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

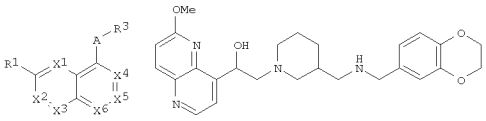


L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:190734 CAPLUS
DOCUMENT NUMBER: 144:274309
TITLE: Preparation of heteroaryl amines as antibacterial agents
INVENTOR(S): Pierau, Sabine; Dale, Glenn
PATENT ASSIGNEE(S): Morphochem Aktiengesellschaft fuer Kombinatorische Chemie, Germany
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006021448	A1	20060302	WO 2005-EP9204	20050825
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102004041163	A1	20060302	DE 2004-102004041163	20040825
AU 2005276576	A1	20060302	AU 2005-276576	20050825
CA 2571132	A1	20060302	CA 2005-2571132	20050825
EP 1781650	A1	20070509	EP 2005-787944	20050825
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101035785	A	20070912	CN 2005-80028501	20050825
JP 2008510762	T	20080410	JP 2007-528748	20050825
KR 2007045152	A	20070502	KR 2006-726765	20061219
MX 200702097	A	20070424	MX 2007-2097	20070220
IN 2007CN00792	A	20070824	IN 2007-CN792	20070223
US 20070244103	A1	20071018	US 2007-660894	20070620
PRIORITY APPLN. INFO.:			DE 2004-102004041163A	20040825
			WO 2005-EP9204 W	20050825

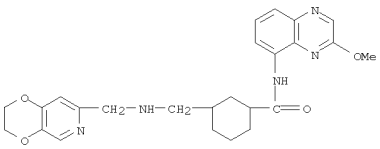
OTHER SOURCE(S): CASREACT 144:274309; MARPAT 144:274309
GI

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



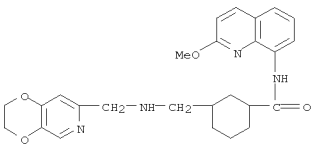
I II

AB The title heteroaryl amines I [wherein X1-X6 = independently N or (un)substituted CH; A = -CH2-CO-, -CH2-SO2-, -NH-SO2-, -CO-NH-, etc.; R1 = H, OH, NH2, halo, (hetero)alkyl, etc.; R3 = (un)substituted piperidinyl, cyclohexyl, morpholino, pyrrolidino, etc.], or pharmacol. acceptable salts, solvates, hydrates, or formulations thereof were prepared as antibacterial agents. For example, II was prepared in a multi-step synthesis. II showed an MIC ≤ 2 μg/mL against at least two organisms.
IT 877457-21-1P 877457-25-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heteroaryl amines as antibacterial agents)
RN 877457-21-1 CAPLUS
CN Cyclohexanecarboxamide, 3-[[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]methyl]-N-(3-methoxy-5-quinoxalinyl)- (CA INDEX NAME)



RN 877457-25-5 CAPLUS
CN Cyclohexanecarboxamide, 3-[[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]methyl]-N-(2-methoxy-8-quinolinyl)- (CA INDEX NAME)

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



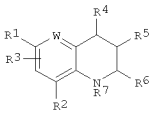
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:31283 CAPLUS
DOCUMENT NUMBER: 144:128981
TITLE: Preparation of fused tetrahydroquinolines as anticancer drugs.
INVENTOR(S): Schiemann, Kai; Bruge, David; Buchstaller, Hans-Peter; Finsinger, Dirk; Staehle, Wolfgang; Amendt, Christiane; Emde, Ulrich; Zenke, Frank
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

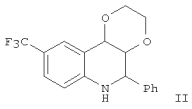
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006002726	A1	20060112	WO 2005-EP5981	20050603
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102004031656	A1	20060119	DE 2004-102004031656	20040630
AU 2005259676	A1	20060112	AU 2005-259676	20050603
CA 2572350	A1	20060112	CA 2005-2572350	20050603
EP 1778694	A1	20070502	EP 2005-750999	20050603
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1976936	A	20070606	CN 2005-80021442	20050603
JP 2008505136	T	20080221	JP 2007-519634	20050603
BR 2005012784	A	20080408	BR 2005-12784	20050603
MX 2006PA14293	A	20070219	MX 2006-PA14293	20061207
KR 2007037585	A	20070405	KR 2006-727545	20061228
IN 2007KN00294	A	20070706	IN 2007-KN294	20070125
PRIORITY APPLN. INFO.:			DE 2004-102004031656A	20040630
			WO 2005-EP5981 W	20050603

OTHER SOURCE(S): CASREACT 144:128981; MARPAT 144:128981
GI

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



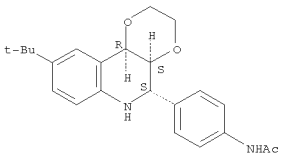
I



II

AB Title compds. [I; W = CH, N; R1-R3 = H, alkyl, cycloalkyl, heteroaryl, halo, etc.; R4R5 = XCH2CH2X, XCR2X, XCH2(CH2OR)X, etc.; R = H, alkyl, cycloalkyl; X = O, S, NR; R6 = (substituted) aryl, heteroaryl; R7 = COR, CONR2, CO2R, H, alkyl, cycloalkyl], were prepared as inhibitors of mitotic
motor protein Eg5 (no data). Thus, reaction of 4-trifluoromethylaniline with PhCHO and 1,4-dioxene in CF3CO2H gave title compound (II) as an isomeric mixture
IT 1070225-39-6
RL: PRPH (Prophetic)
(Preparation of fused tetrahydroquinolines as anticancer drugs.)
RN 1070225-39-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:354932 CAPLUS
DOCUMENT NUMBER: 140:375178
TITLE: Preparation of quinolines, quinazolines, and naphthyridines as antibacterials.
INVENTOR(S): Surivet, Jean-Philippe; Zumbrunn, Cornelia; Hubschwerlen, Christian; Perez Frutos Hoener, Annabelle
PATENT ASSIGNEE(S): Morphochem Aktiengesellschaft Fuer Kombinatorische Chemie, Germany
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035569	A2	20040429	WO 2003-EP11203	20031009
WO 2004035569	A3	20040902		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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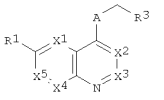
DE 10247233	A1	20040617	DE 2002-10247233	20021010
DE 10256405	A1	20040617	DE 2002-10256405	20021202
CA 2500320	A1	20040429	CA 2003-2500320	20031009
AU 2003301414	A1	20040504	AU 2003-301414	20031009
EP 1551829	A2	20050713	EP 2003-808720	20031009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015221	A	20050823	BR 2003-15221	20031009
CN 1703412	A	20051130	CN 2003-80101243	20031009
JP 2006505622	T	20060216	JP 2005-501280	20031009
NZ 539217	A	20080328	NZ 2003-539217	20031009
IN 2005MN00226	A	20050930	IN 2005-MN226	20050322
US 20060040949	A1	20060223	US 2005-529986	20050331
US 7223776	B2	20070529		
ZA 2005002862	A	20060628	ZA 2005-2862	20050408

PRIORITY APPLN. INFO.: DE 2002-10247233 A 20021010
DE 2002-10256405 A 20021202
WO 2003-EP11203 W 20031009

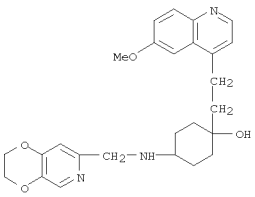
OTHER SOURCE(S): MARPAT 140:375178
GI

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



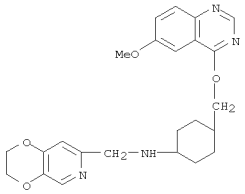
I

AB Title compds. [I; A = O, S, N, alkylene, alkenylene, alkynylene, heteroalkylene; X1-X5 = N, CR2; R1 = H, halo, OH, alkoxy, heteroalkoxy; R2
= H, halo, OH, alkyl, alkenyl, alkynyl, heteroalkyl; R3 = (substituted) piperidinyl, piperazinyl, morpholinyl, etc.], were prepared Thus, (3S)-2-(3-aminomethylpiperidin-1-yl)-1-(6-methoxyquinolin-4-yl)ethanol (preparation given),
3-oxo-3,4-dihydro-2H-benzo[1,4]-oxazine-6-carboxaldehyde, and 3Å mol. sieves were stirred 16 h in CH2Cl2/MeOH; NaBH4 was added followed by stirring for 2 h to give (3S)-6-[[[1-[(2RS)-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-3-ylmethyl]amino]methyl]-4H-benzo[1,4]oxazin-3-one. This showed a min. inhibitory concentration of ≤0.125 μg/mL against ≥1 member of a panel of bacteria.
IT 683269-04-7P 683269-29-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolines, quinazolines, and naphthyridines as antibacterials)
RN 683269-04-7 CAPLUS
CN Cyclohexanol, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-[2-(6-methoxy-4-quinolinyl)ethyl]- (CA INDEX NAME)



RN 683269-29-6 CAPLUS
CN 1,4-Dioxino[2,3-c]pyridine-7-methanamine, 2,3-dihydro-N-[4-[[(6-methoxy-4-quinazolinyl)oxy]methyl]cyclohexyl]- (CA INDEX NAME)

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:20690 CAPLUS
DOCUMENT NUMBER: 140:94053
TITLE: Preparation of [[(pyrido[3,2-b][1,4]thiazinyl)methyl]amino]cyclohexanes and analogs as antibacterial agents
INVENTOR(S): Axten, Jeffrey Michael; Daines, Robert A.; Davies, David Thomas; Gallagher, Timothy Francis; Jones, Graham Elgin; Miller, William Henry; Pearson, Neil David; Pendrak, Israil
PATENT ASSIGNEE(S): Glaxo Group, Limited, UK
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002992	A1	20040108	WO 2003-EP6756	20030625
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003266949	A1	20040119	AU 2003-266949	20030625
EP 1537123	A1	20050608	EP 2003-747857	20030625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005539087	T	20051222	JP 2004-548887	20030625
US 20060189604	A1	20060824	US 2006-518653	20060403
PRIORITY APPLN. INFO.:			US 2002-391700P P	20020626
			US 2003-460961P P	20030407
			WO 2003-EP6756 W	20030625

OTHER SOURCE(S): MARPAT 140:94053
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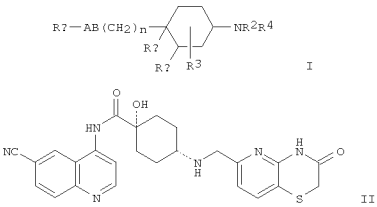
L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



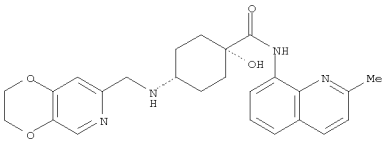
I

II

AB Title compds. I [wherein RA = (un)substituted bicyclic carbocycle, heterocycle; RV, RE = H or together form a bond; R2 = H, or (un)substituted alkyl, alkenyl; R3 = H, alkyl, alkenyl, alkoxycarbonyl, (un)substituted aminocarbonyl, etc.; R4 = UR5; U = CH2, CO, SO2; R5 = (hydroxy)alkyl, alkenyl, amino, (un)substituted bicyclic carbocycle or heterocycle, etc.; n = 0-1; AB = (un)substituted aminocarbonyl, alkylcarbonyl, aminosulfonyl, etc.; and pharmaceutically acceptable derivs. thereof] were prepared as antibacterial agents. For example, reductive alkylation of trans-4-amino-1-hydroxy-cyclohexanecarboxylic acid (6-cyano-quinolin-4-yl)amide with 3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6 -carbaldehyde gave II in 47% yield. II•2HCl showed MIC ≤ 2 μg/mL against bacterial infections, e.g. S. epidermidis CL7. Thus, I and their pharmaceutical compns. are useful for the treatment of bacterial infections.
IT 643070-13-7P 643070-18-2P 643070-19-3P 643070-20-6P 643070-40-0P 643070-52-4P 643070-54-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of [[(pyrido[3,2-b][1,4]thiazinyl)methyl]amino]cyclohexanes and analogs as antibacterial agents)
RN 643070-13-7 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-N-(2-methyl-8-quinolinyl)-, cis- (CA INDEX NAME)

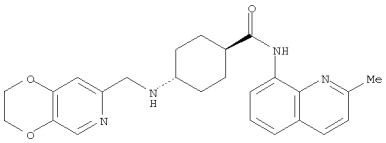
Relative stereochemistry.

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



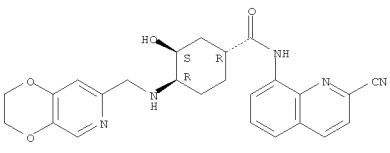
RN 643070-18-2 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-N-(2-methyl-8-quinolinyl)-, trans- (CA INDEX NAME)

Relative stereochemistry.



RN 643070-19-3 CAPLUS
CN Cyclohexanecarboxamide, N-(2-cyano-8-quinolinyl)-4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-3-hydroxy-, (1R,3S,4R)- (CA INDEX NAME)

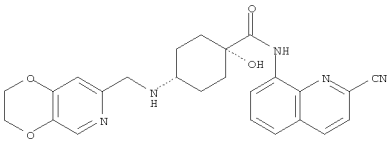
Absolute stereochemistry.



RN 643070-20-6 CAPLUS
CN Cyclohexanecarboxamide, N-(2-cyano-8-quinolinyl)-4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-, cis- (CA INDEX NAME)

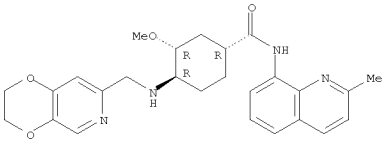
Relative stereochemistry.

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



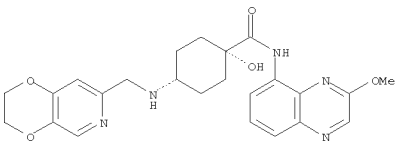
RN 643070-40-0 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-3-methoxy-N-(2-methyl-8-quinolinyl)-, (1R,3R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 643070-52-4 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-N-(3-methoxy-5-quinoxalinyl)-, cis- (CA INDEX NAME)

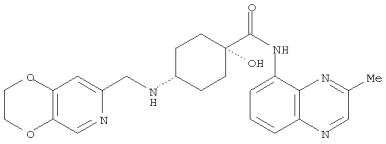
Relative stereochemistry.



RN 643070-54-6 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-N-(3-methyl-5-quinoxalinyl)-, cis- (CA INDEX NAME)

Relative stereochemistry.

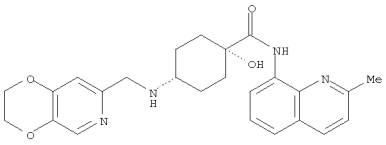
L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 643067-49-6P 643067-51-0P 643067-53-2P
643067-55-4P 643067-57-6P 643067-61-2P
643067-63-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of
[[(pyrido[3,2-b][1,4]thiazinyl)methyl]amino]cyclohexanes and analogs as antibacterial agents)

RN 643067-49-6 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-N-(2-methyl-8-quinolinyl)-, hydrochloride (1:2), cis- (CA INDEX NAME)

Relative stereochemistry.

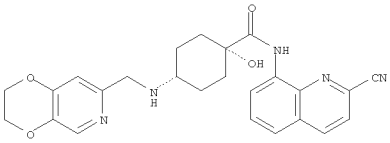


●2 HCl

RN 643067-51-0 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-N-(2-methyl-8-quinolinyl)-, hydrochloride (1:2), trans- (CA INDEX NAME)

Relative stereochemistry.

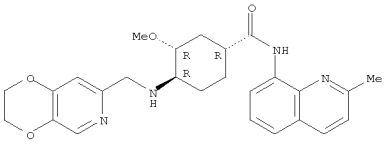
L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 643067-57-6 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-3-methoxy-N-(2-methyl-8-quinolinyl)-, hydrochloride (1:2), (1R,3R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

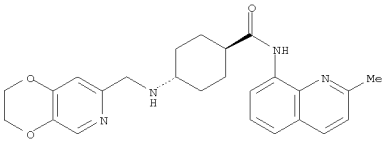


●2 HCl

RN 643067-61-2 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-N-(3-methoxy-5-quinoxalinyl)-, hydrochloride (1:1), cis- (CA INDEX NAME)

Relative stereochemistry.

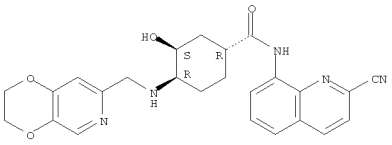
L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

RN 643067-53-2 CAPLUS
CN Cyclohexanecarboxamide, N-(2-cyano-8-quinolinyl)-4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-3-hydroxy-, hydrochloride (1:1), (1R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

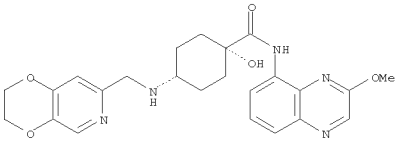


● HCl

RN 643067-55-4 CAPLUS
CN Cyclohexanecarboxamide, N-(2-cyano-8-quinolinyl)-4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-, hydrochloride (1:1), cis- (CA INDEX NAME)

Relative stereochemistry.

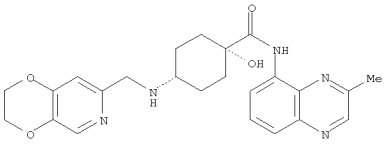
L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 643067-63-4 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-N-(3-methyl-5-quinoxalinyl)-, hydrochloride (1:2), cis- (CA INDEX NAME)

Relative stereochemistry.



●2 HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:837084 CAPLUS
DOCUMENT NUMBER: 139:337959
TITLE: Preparation of nitrogen-containing bicyclic heterocycles for use as antibacterials
INVENTOR(S): Brooks, Gerald; Davies, David Thomas; Jones, Graham Elgin; Markwell, Roger Edward; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087098	A1	20031023	WO 2002-EP5708	20020524
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
TW 232219	B	20050511	TW 2002-91110839	20020523
CA 2448525	A1	20031023	CA 2002-2448525	20020524
AU 2002367697	A1	20031027	AU 2002-367697	20020524
EP 1399443	A1	20040324	EP 2002-807202	20020524
EP 1399443	B1	20071212		
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HU 2004000017	A2	20040628	HU 2004-17	20020524
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JP 2005519981	T	20050707	JP 2003-584054	20020524
AT 380812	T	20071215	AT 2002-807202	20020524
ES 2298439	T3	20080516	ES 2002-807202	20020524
ZA 2003008696	A	20040521	ZA 2003-8696	20031107
IN 2003DN01906	A	20051216	IN 2003-DN1906	20031113
MX 2003PA10790	A	20040302	MX 2003-PA10790	20031125
US 20040171620	A1	20040902	US 2004-478154	20040406
US 7141564	B2	20061128		
US 20070135422	A1	20070614	US 2006-604045	20061122

PRIORITY APPLN. INFO.: GB 2001-12834 A 20010525
WO 2002-EP5708 W 20020524
US 2004-478154 A3 20040406

OTHER SOURCE(S): MARPAT 139:337959
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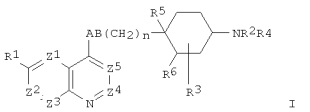

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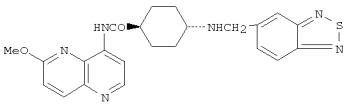
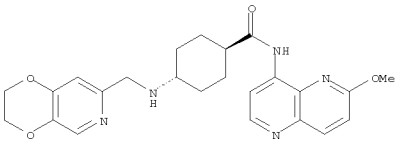


II

AB Naphthyridines I [one of Z1-Z5 = N, one = (un)substituted Ch, the others = CH; one of Z1-Z5 = (un)substituted Ch, the others = CH; R1 = H, OH, halogen, (un)substituted alkoxy, alkyl, alkylthio, CF3, NO2, N3, acyl, acyloxy, acylthio, alkylsulfonyl, alkylsulfinyl, arylsulfonyl, arylsulfinyl, amino; R2 = H, (un)substituted alkyl, alkenyl; R3 = H, CO2H, alkoxycarbonyl, (un)substituted alkyl, CONH2, CN, tetrazolyl, 2-oxooxazolidinyl, 3-hydroxy-3-cyclobutene-1,2-dion-4-yl,2,4-thiazolidinedion-5-yl, 1,2,4-triazol-5-yl, 5-oxo-1,2,4-oxadiazol-3-yl; R4 = (un)substituted alkyl, heterocyclic; R5, R6 = H; R5R6 = bond; AB = (un)substituted CONH, NHCO, COCH2, CH2CO, OCH2, CH2O, NHCH2, CH2NH, NHSO2, CH2SO2, CH2CH2; n = 0, 1] were prepared for use as bactericides. Thus, 2,1,3-benzothiadiazole-5-carboxylic acid was reduced to the alc., mesylated, and treated with the amine fragment, prepared from 5-amino-2-methoxypyridine in 5 steps, to give the naphthyridine II, which had IC50 against Staphylococcus aureus Oxford, several S. pneumoniae strains, and Escherichia coli strains of ≤ 4 μg/mL.
IT 615565-89-4P
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of nitrogen-containing bicyclic heterocycles for use as antibacterials)
RN 615565-89-4 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-N-(6-methoxy-1,5-naphthyridin-4-yl)-, trans- (CA INDEX NAME)

Relative stereochemistry.

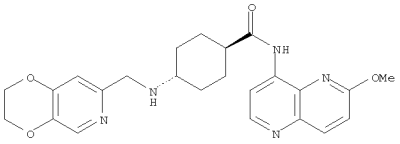


IT 615565-90-7P 615567-62-9P 615567-88-9P
615567-90-3P 615567-96-9P 615567-99-2P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrogen-containing bicyclic heterocycles for use as antibacterials)
RN 615565-90-7 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-N-(6-methoxy-1,5-naphthyridin-4-yl)-, ethanedioate (1:1), trans- (CA INDEX NAME)

CM 1

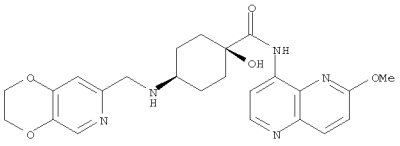
CRN 615565-89-4
CMF C24 H27 N5 O4

Relative stereochemistry.



CM 2

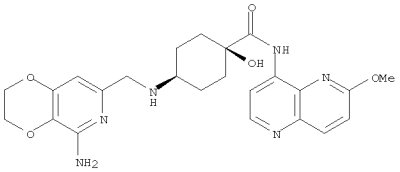
CRN 144-62-7
CMF C2 H2 O4



●2 HCl

RN 615567-88-9 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(5-amino-2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-N-(6-methoxy-1,5-naphthyridin-4-yl)-, hydrochloride (1:2), cis- (CA INDEX NAME)

Relative stereochemistry.

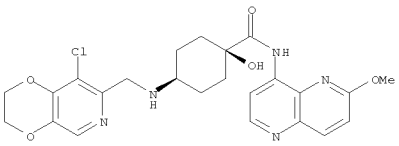


●2 HCl

RN 615567-90-3 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(8-chloro-2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-N-(6-methoxy-1,5-naphthyridin-4-yl)-, hydrochloride (1:2), cis- (CA INDEX NAME)

Relative stereochemistry.

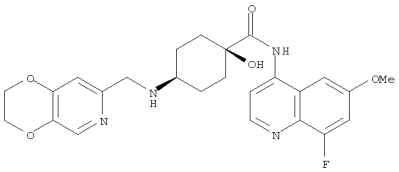
L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

RN 615567-96-9 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-N-(8-fluoro-6-methoxy-4-quinolinyl)-1-hydroxy-, hydrochloride (1:2), cis- (CA INDEX NAME)

Relative stereochemistry.

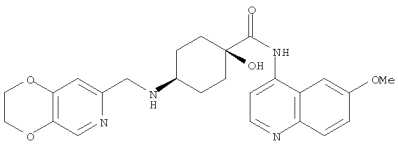


●2 HCl

RN 615567-99-2 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(2,3-dihydro-1,4-dioxino[2,3-c]pyridin-7-yl)methyl]amino]-1-hydroxy-N-(6-methoxy-4-quinolinyl)-, hydrochloride (1:2), cis- (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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